

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
2 June 2005 (02.06.2005)

PCT

(10) International Publication Number  
**WO 2005/049585 A1**

(51) International Patent Classification<sup>7</sup>: **C07D 245/02**,  
281/00, 255/02, A61K 31/33, A61P 25/00

(DE). TROXLER, Thomas, J. [CH/CH]; Sennweg 27,  
CH-4246 Wahlen (CH). VEENSTRA, Siem, Jacob  
[NL/CH]; Rebweg 28, 79540 Lörrach (DE).

(21) International Application Number:  
PCT/EP2004/012497

(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(22) International Filing Date:  
4 November 2004 (04.11.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0325830.8 5 November 2003 (05.11.2003) GB

(71) Applicant (for all designated States except AT, US): NO-  
VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel  
(CH).

(71) Applicant (for AT only): NOVARTIS PHARMA GMBH  
[AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): AUBERSON,  
Yves [CH/CH]; Maiengasse 4, CH-4123 Allschwil (CH).  
BETSCHART, Claudia [CH/CH]; In Den Ziegelhöfen  
93, CH-4054 Basel (CH). GLATTHAR, Ralf [DE/DE];  
Badmatte 5, 79713 Bad Säckingen (DE). LAUMEN,  
Kurt [DE/DE]; Am Johannisbach 32, 79232 March (DE).  
MACHAUER, Rainer [DE/DE]; Ferdinand-Weiss-Strasse  
45, 79106 Freiburg (DE). TINTELNOT-BLOMLEY,  
Marina [DE/DE]; Roettlerstrasse 1, 79689 Maulburg

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

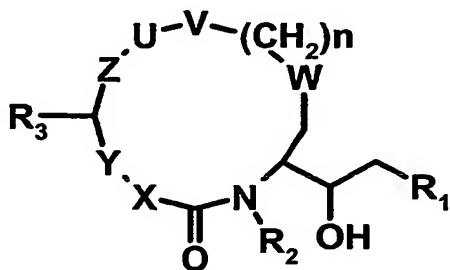
(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE,  
SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: MACROCYCLIC LACTAMS AND PHARMACEUTICAL USE THEREOF



(I)

(57) Abstract: The present invention relates to novel macrocyclic compounds of the formula (I) wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, U, V, W, X, Y, Z and n are as defined in the specification, the number of ring atoms included in the macrocyclic ring being 14, 15, 16 or 17, in free base form or in acid addition salt form, to their preparation, to their use as pharmaceuticals and to pharmaceutical compositions comprising them.